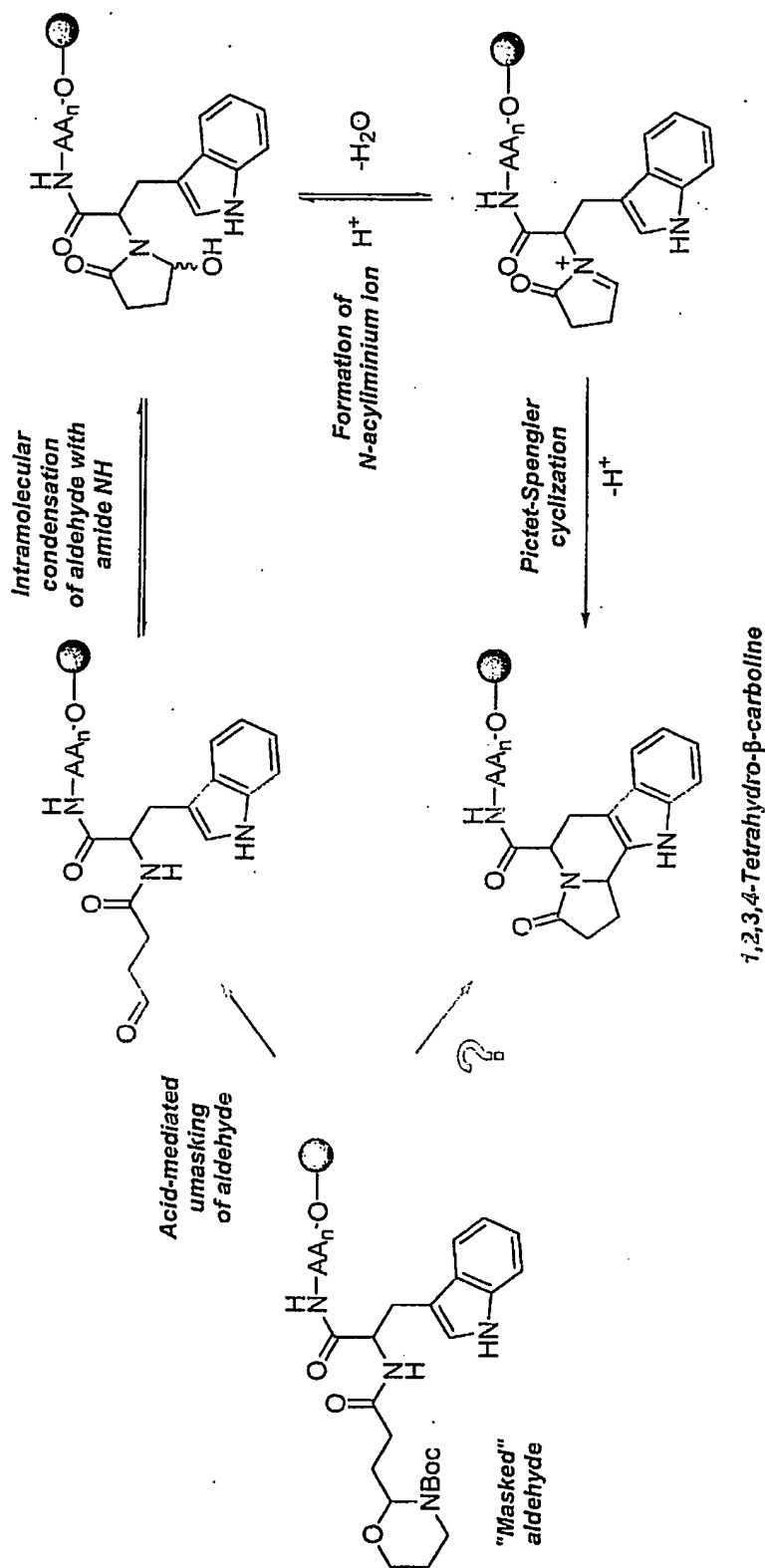
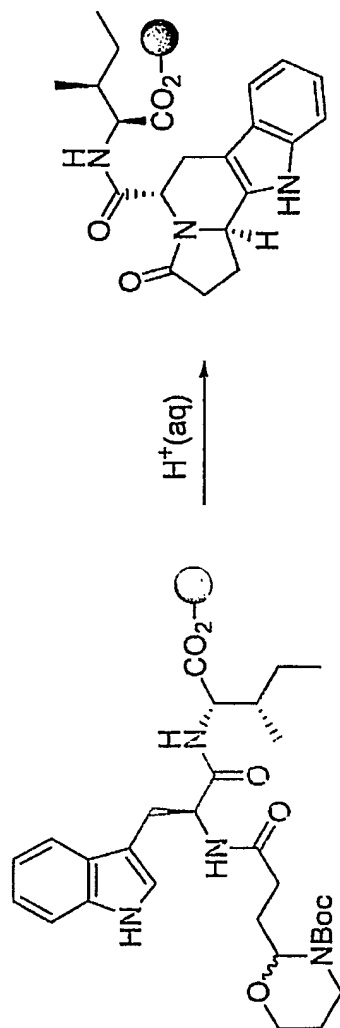


Fig. 1



2/14

Fig. 2



Entry	Acid (aq)	Ratio (substrate:intermediates:PS-product)		
		15 min	1h	20h
1	50% HCOOH	0:10:90	0:0:100	-
2	50% CH ₃ COOH	95:5:0	70:20:10	0:0:100
3	50% CH ₂ ClCOOH	5:40:55	0:0:100	-
4	20% CSA	0:20:80	0:0:100	-
5	20% NCH ₂ COOH	30:40:30	0:20:80	0:0:100
6	10% CCl ₃ COOH	0:20:80	0:0:100	-
7	10% CF ₃ COOH	0:0:100	-	-
8	10% HCl	0:0:100	-	-

3/14

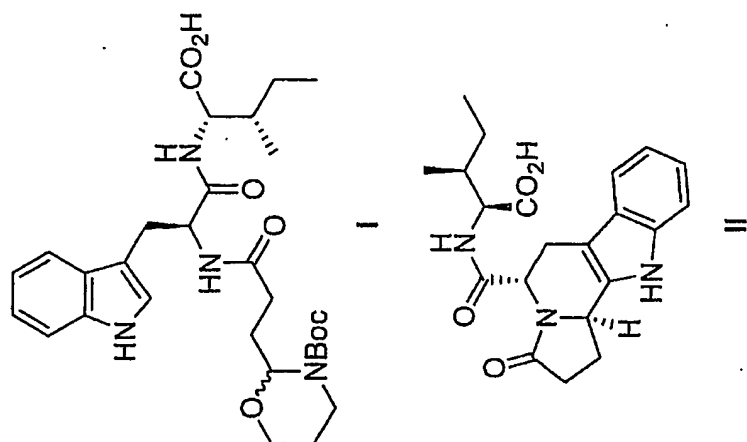
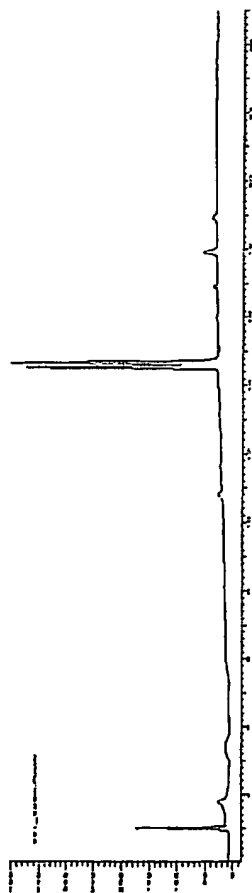
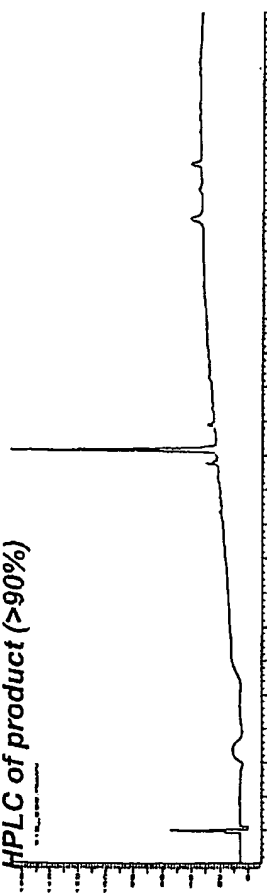
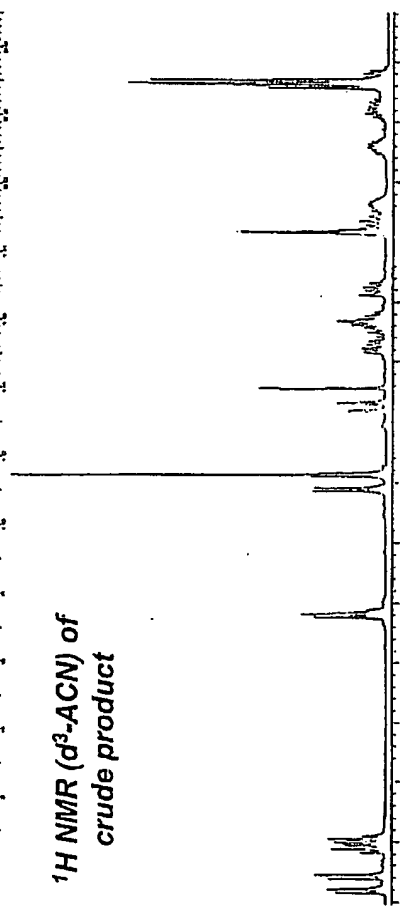


Fig. 3

HPLC of substrate (>90%)

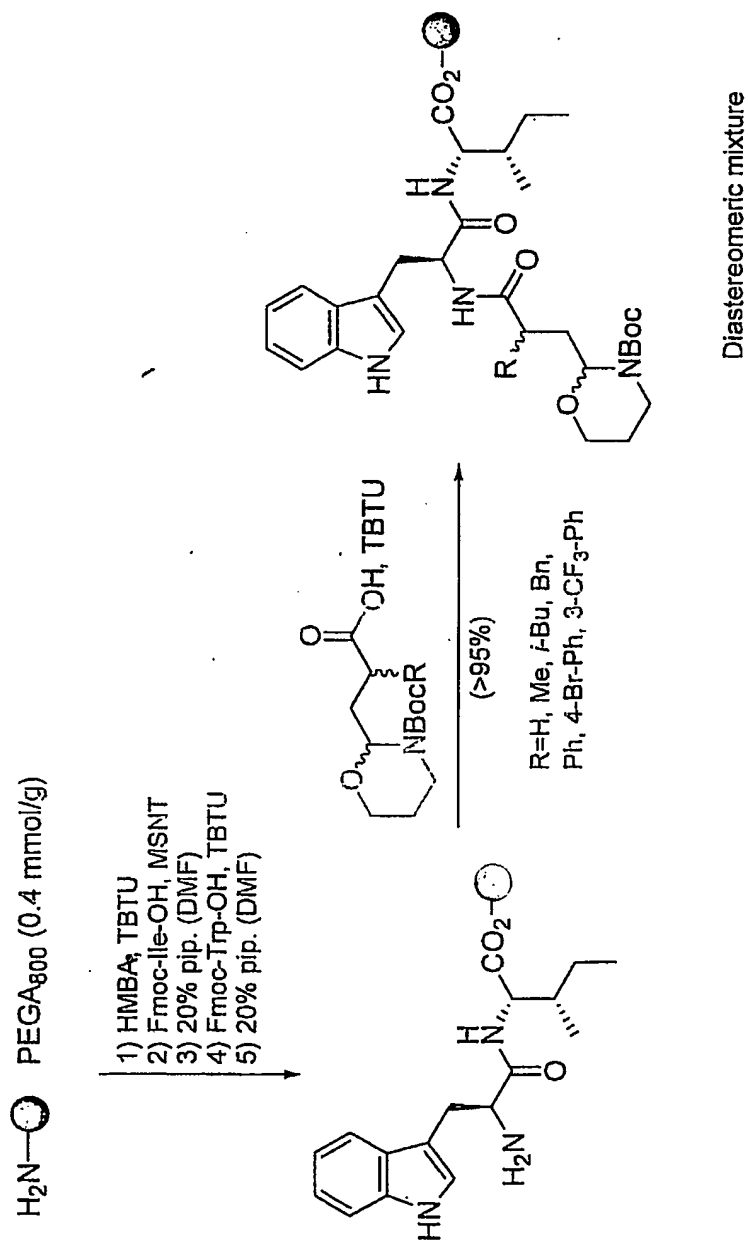


HPLC of product (>90%)

¹H NMR (d³-ACN) of crude product

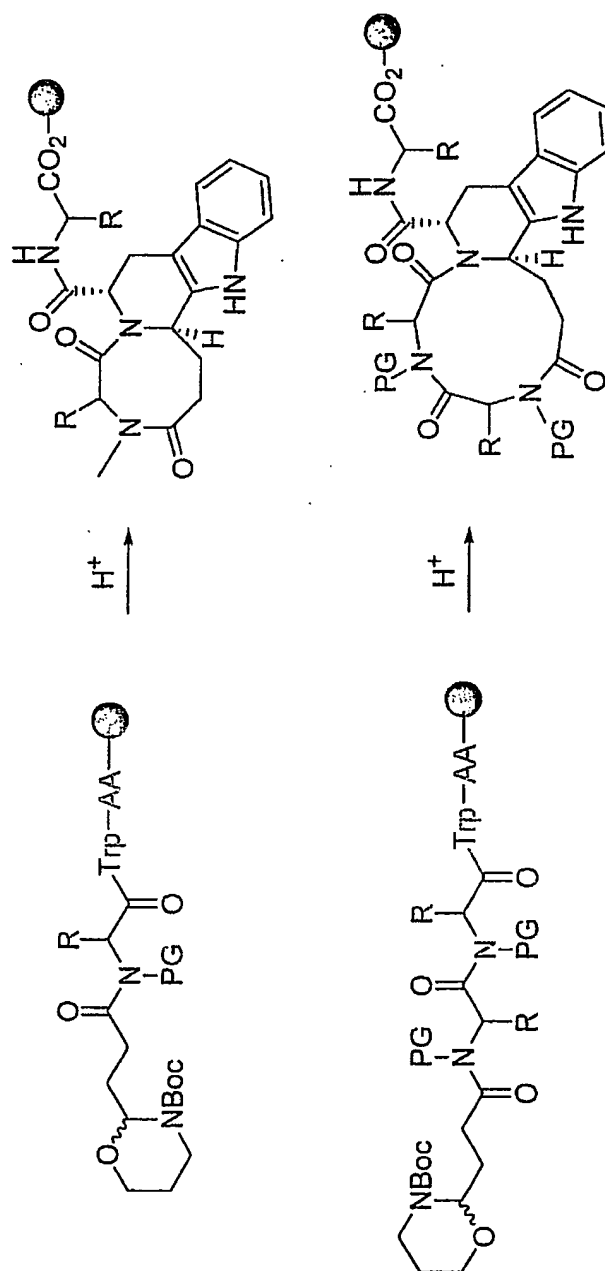
4/14

Fig. 4



5/14

Fig 5



6/14

Fig. 6

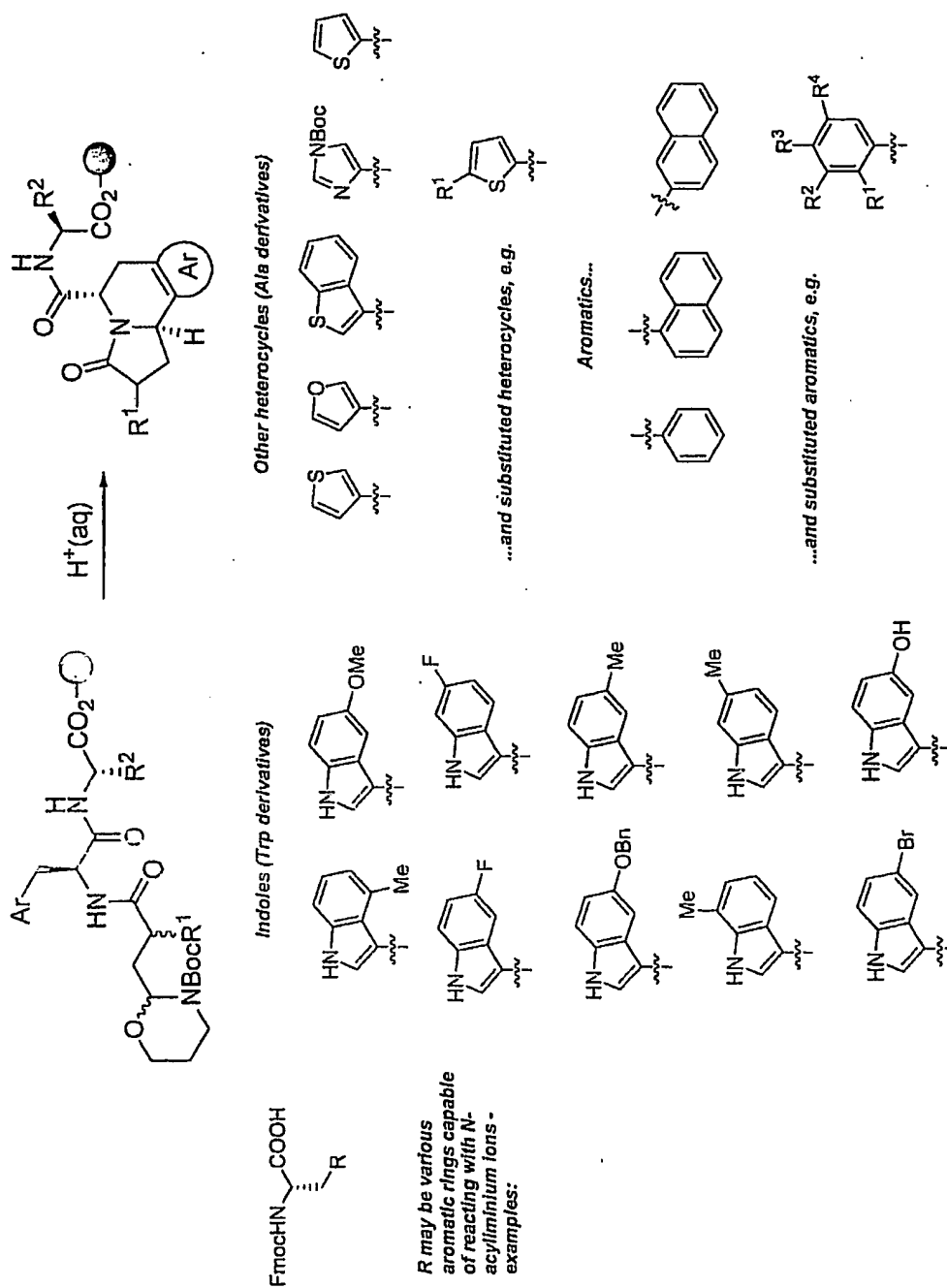
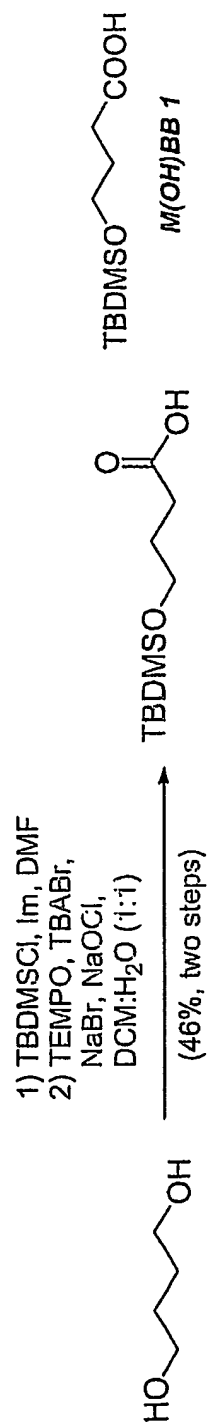
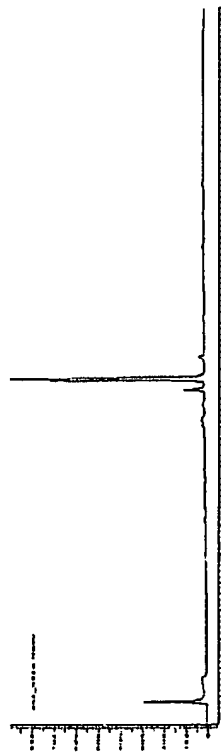
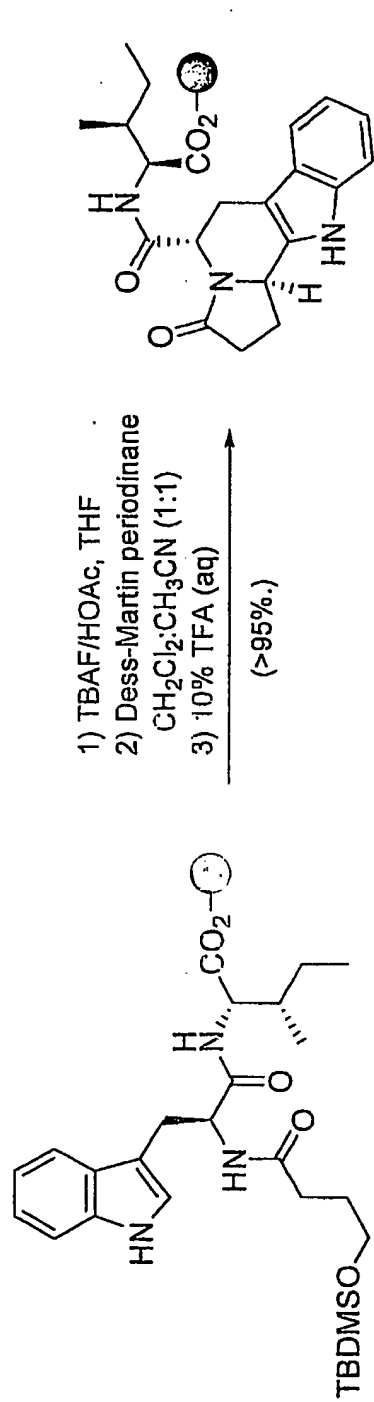


Fig. 7

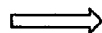


8/14

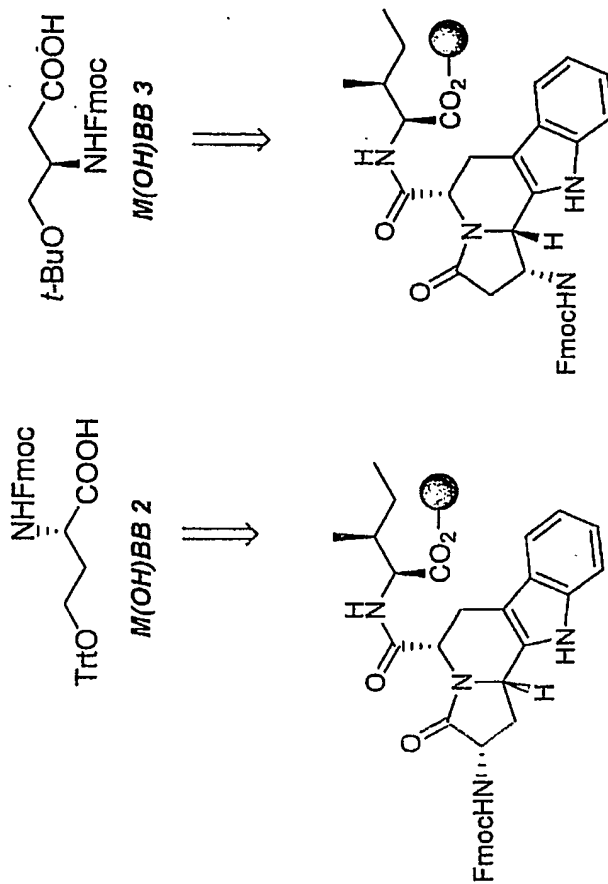
Fig. 8

Constrained Trp analogues,
or Trp-containing motifs,
e.g. analogues of

Somatostatin,
Cholecystokinin,
Melanotropin,
Growth Hormone,
Secretagogues



Highly potent and selective
ligands for biological
target receptors



Examples of accessible structures
via oxidation/intramolecular N-acyliminium
Pictet-Spengler reaction approach

9/14

Fig. 9

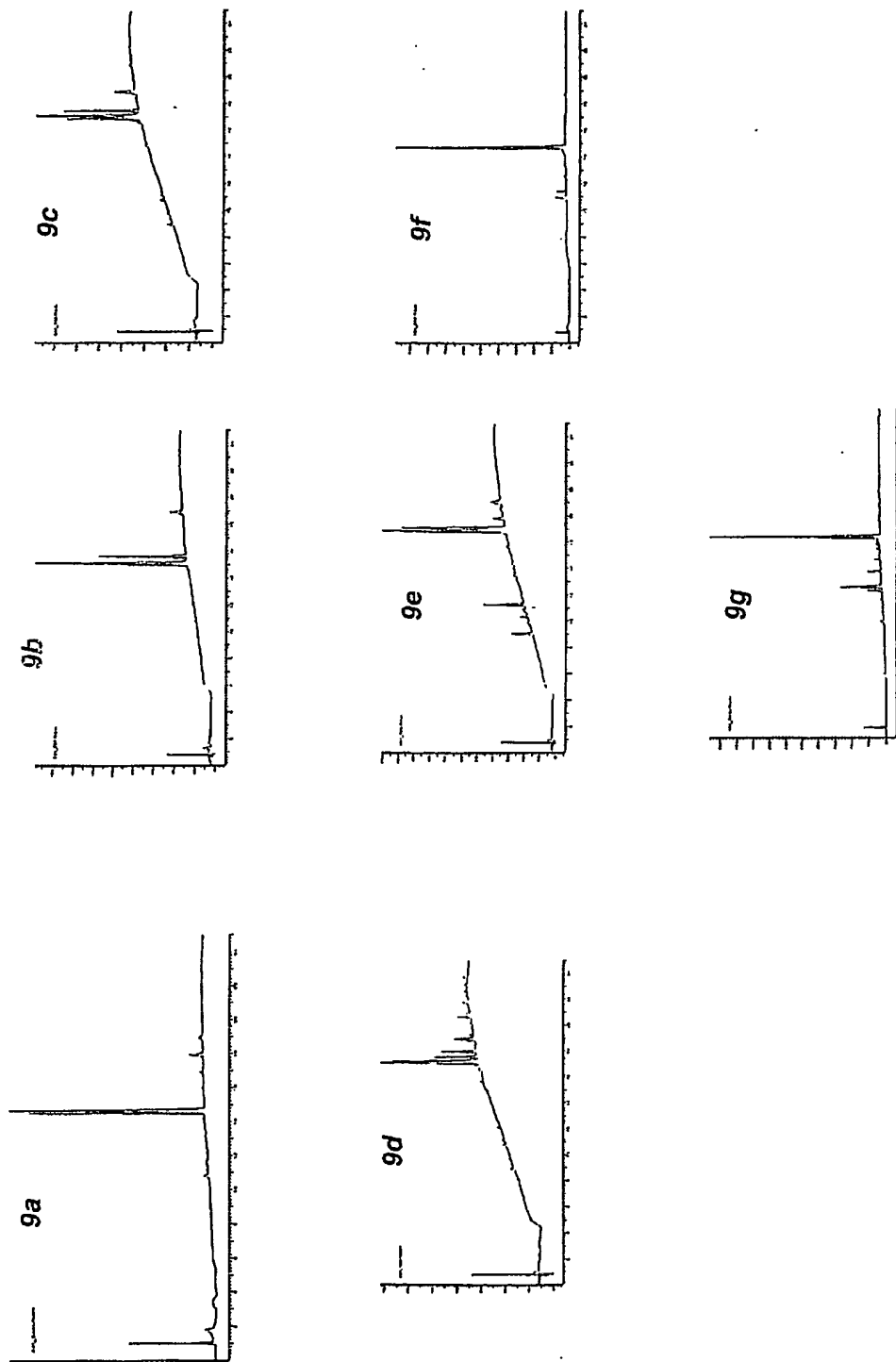


Fig. 10

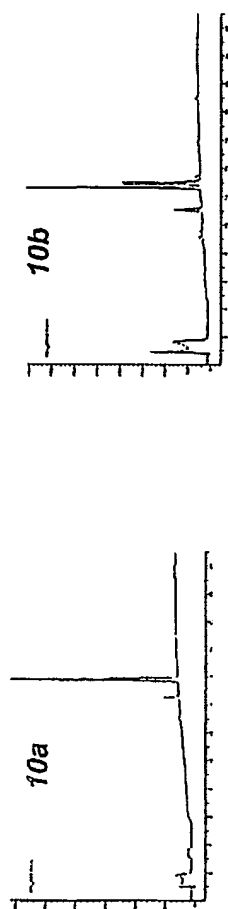
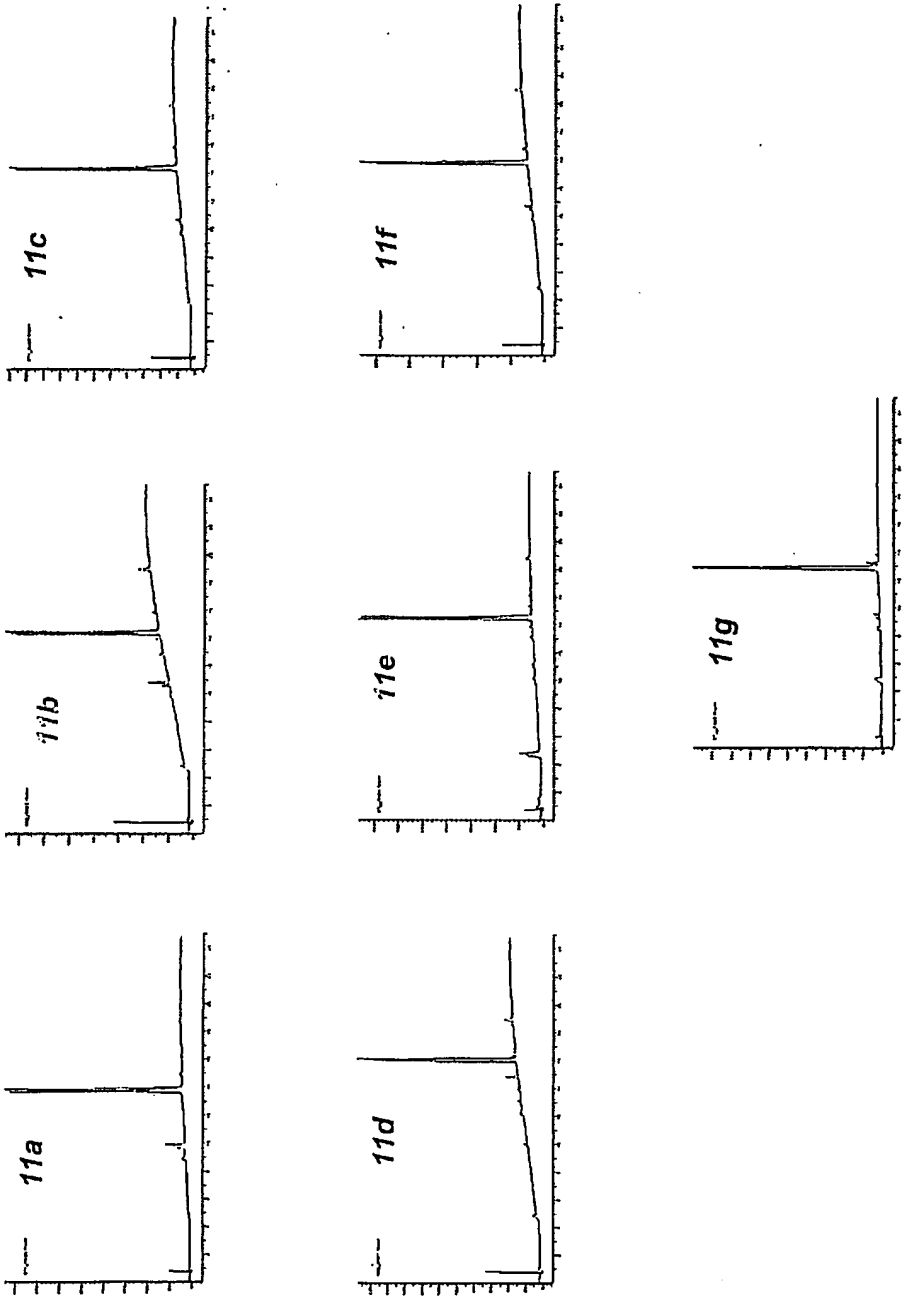


Fig. 11



12/14

Fig. 12

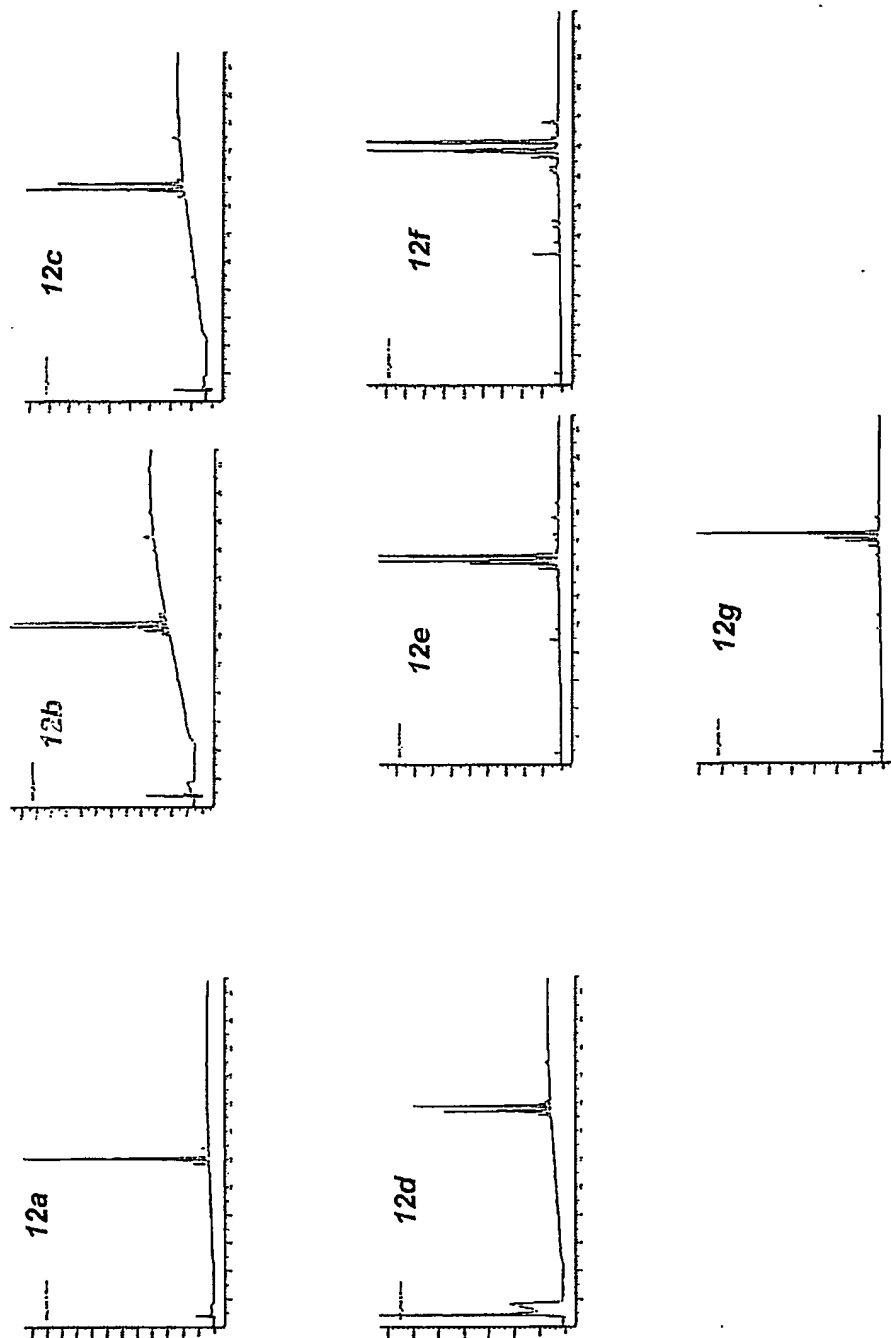


Fig. 13.

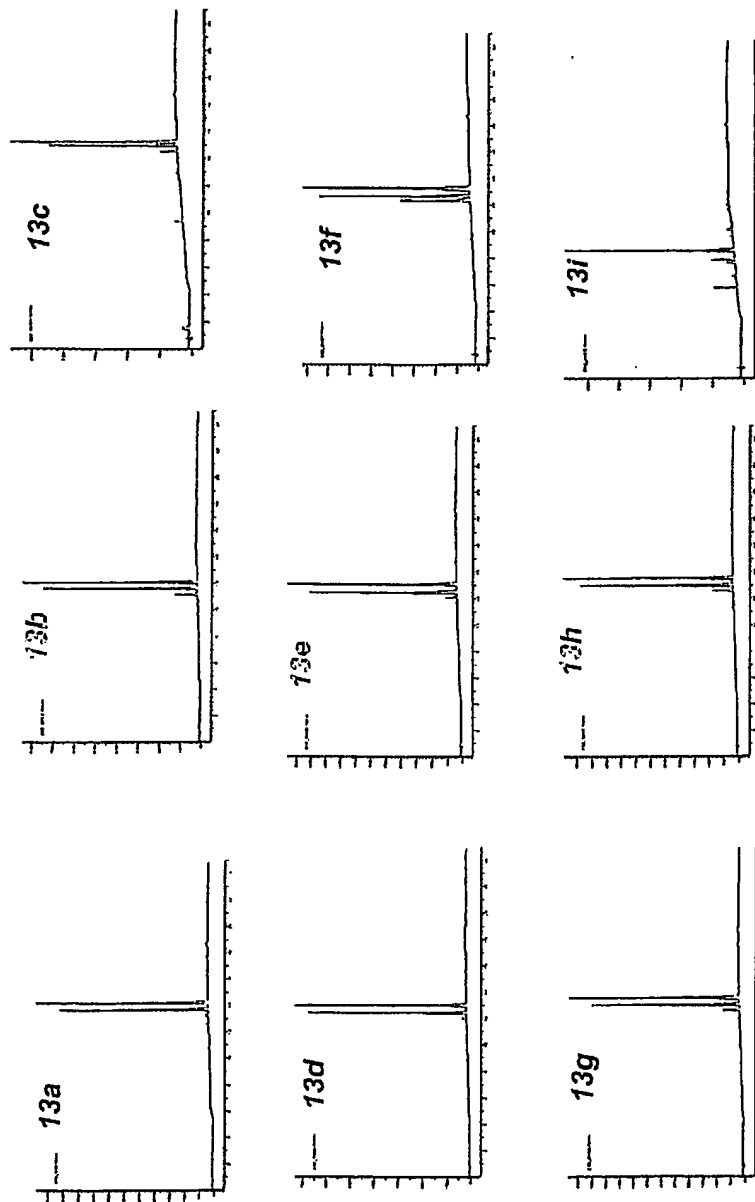
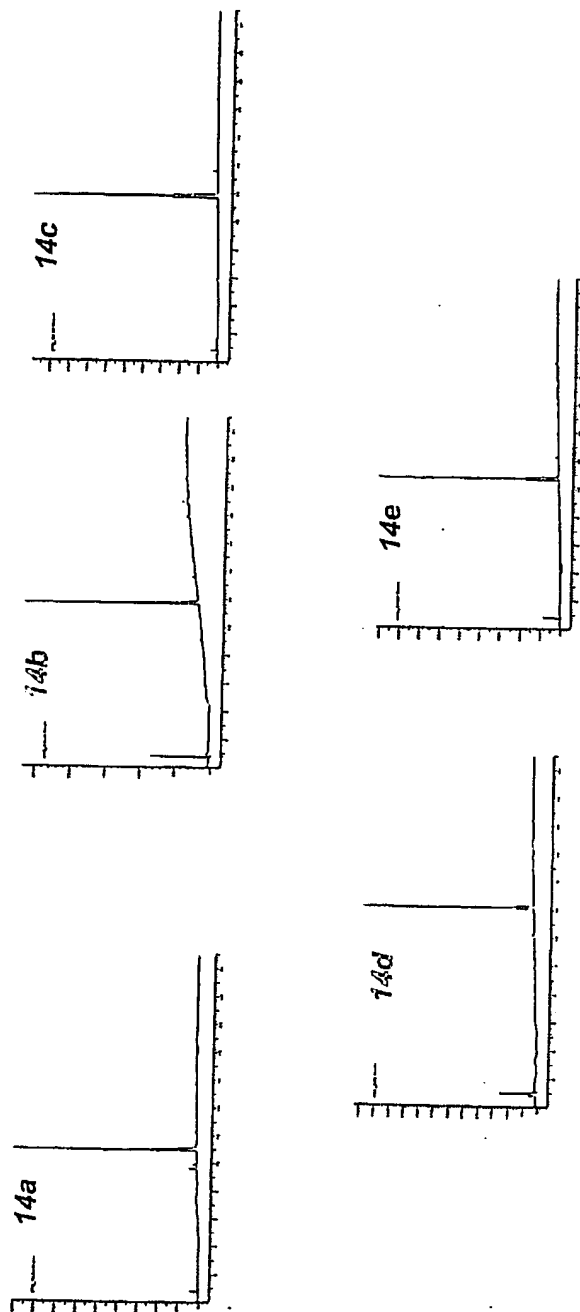


Fig. 14



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